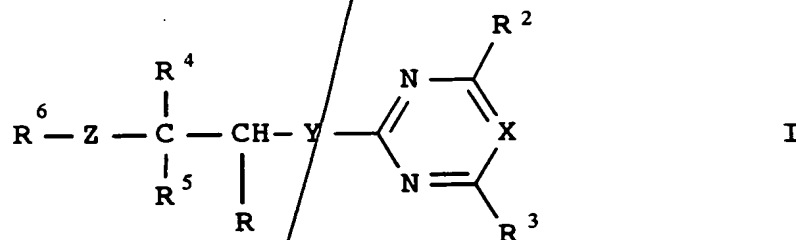


We claim:

The use of carboxylic acid derivatives of the formula I

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where R is formyl, CO₂H or a radical which can be hydrolyzed to COOH, and the remaining substituents have the following meanings:

- R² is halogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy or C₁-C₄-alkylthio;
- 20 X is nitrogen or CR¹⁴ where R¹⁴ is hydrogen or, together with R³, forms a 3- or 4-membered alkylene or alkenylene chain in which, in each case, one methylene group is replaced by oxygen;
- 25 R³ is halogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy, C₁-C₄-alkylthio or R³ is linked to R¹⁴ as indicated above to form a 5- or 6-membered ring;
- 30 R⁴ is C₁-C₁₀-alkyl which can carry from one to five halogen atoms and/or one of the following radicals: C₁-C₄-alkoxy, C₁-C₄-alkylthio, cyano, C₁-C₈-alkylcarbonyl, C₁-C₈-alkoxy-carbonyl, phenyl, phenoxy or phenylcarbonyl, where the phenyl radicals in turn can carry from one to five halogen atoms and/or from one to three of the following radicals:
- 35 C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy and/or C₁-C₄-alkylthio;
- 40 C₁-C₁₀-alkyl which can carry from one to five halogen atoms and carries one of the following radicals: a five-membered heteroaromatic ring which contains from one to three nitrogen atoms and/or one sulfur or oxygen atom and which can carry from one to four halogen atoms and/or one or two of the following radicals: C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy, C₁-C₄-alkylthio and/or phenyl;

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*Sub
C₁ Cont*

- 5 C₃-C₁₂-cycloalkyl or C₃-C₁₂-cycloalkenyl, each of which can contain one oxygen or sulfur atom and can carry from one to five halogen atoms and/or one of the following radicals: C₁-C₄-alkyl, C₁-C₄-alkoxy, C₁-C₄-alkylthio, cyano, C₁-C₈-alkylcarbonyl, C₁-C₈-alkoxycarbonyl, phenyl, phenoxy or phenylcarbonyl, where the phenyl radicals in turn can carry from one to five halogen atoms and/or from one to three of the following radicals: C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy and/or C₁-C₄-alkylthio;
- 10 C₃-C₆-alkenyl or C₃-C₆-alkynyl, each of which can carry from one to five halogen atoms and/or one of the following radicals: C₁-C₄-alkyl, C₁-C₄-alkoxy, C₁-C₄-alkylthio, cyano, C₁-C₈-alkylcarbonyl, C₁-C₈-alkoxycarbonyl, phenyl, phenoxy or
- 15 phenylcarbonyl, where the phenyl radicals in turn can carry from one to five halogen atoms and/or from one to three of the following radicals: C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy and/or C₁-C₄-alkylthio;
- 20 a five- or six-membered heteroaromatic ring which contains from one to three nitrogen atoms and/or one sulfur or oxygen atom and which can carry from one to four halogen atoms and/or one or two of the following radicals: C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy, C₁-C₄-alkylthio, phenyl, phenoxy or phenylcarbonyl, where the phenyl
- 25 radicals in turn can carry from one to five halogen atoms and/or from one to three of the following radicals: C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy and/or C₁-C₄-alkylthio;
- 30 phenyl or naphthyl, each of which can be substituted by one or more of the following radicals: halogen, nitro, cyano, hydroxyl, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy, phenoxy, C₁-C₄-alkylthio, amino, C₁-C₄-alkylamino or C₁-C₄-dialkylamino;
- 35 R⁴ and R⁵ form, together with the adjacent carbon atom, a 3- to 8-membered ring which can contain one oxygen or sulfur atom and can carry from one to three of the following radicals: C₁-C₄-alkyl, halogen, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy and/or C₁-C₄-alkylthio [sic];
- 40 R⁵ is hydrogen, C₁-C₄-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkynyl, C₃-C₈-cycloalkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxyalkyl, C₁-C₄-alkylthioalkyl, phenyl or R⁵ is linked to R⁴ as indicated above to form a 3- to 8-membered ring;
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*Sub
Acont*
5 R⁶ is C₁-C₈-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkynyl or C₃-C₈-cyclo-
alkyl, it being possible for each of these radicals to be
substituted one or more times by: halogen, nitro, cyano,
C₁-C₄-alkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkynyloxy, C₁-C₄-alkyl-
thio, C₁-C₄-haloalkoxy, C₁-C₄-alkylcarbonyl, C₁-C₄-alkoxy-
carbonyl, C₁-C₄-alkylamino, di-C₁-C₄-alkylamino, phenyl,
phenoxy or phenyl which is substituted one or more times, eg.
from one to three times, by halogen, nitro, cyano,
C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy or
C₁-C₄-alkylthio;

10 phenyl or naphthyl, each of which can be substituted by one
or more of the following radicals: halogen, nitro, cyano,
hydroxyl, amino, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy,
15 C₁-C₄-haloalkoxy, phenoxy, C₁-C₄-alkylthio, C₁-C₄-alkylamino or
C₁-C₄-dialkylamino;

20 a five- or six-membered heteroaromatic ring which contains
from one to three nitrogen atoms and/or one sulfur or oxygen
atom and which can carry from one to four halogen atoms and/
or one or two of the following radicals: C₁-C₄-alkyl,
C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy, C₁-C₄-alkyl-
thio, phenyl, phenoxy or phenylcarbonyl, where the phenyl
radicals in turn can carry from one to five halogen atoms
25 and/or from one to three of the following radicals:
C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy
and/or C₁-C₄-alkylthio;

Y is sulfur or oxygen or a single bond;

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Z is sulfur or oxygen;

for the production of drugs.

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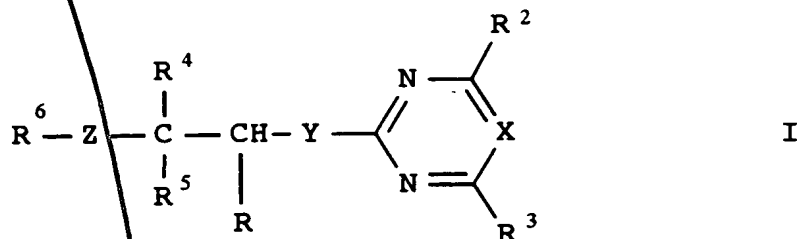
The use of carboxylic acid derivatives as drugs

Abstract

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The use of carboxylic acid derivatives of the formula I

10



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where R is formyl, CO₂H or a radical which can be hydrolyzed to COOH, and the remaining substituents have the following meanings:

R² is halogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy or C₁-C₄-alkylthio;

20

X is nitrogen or CR¹⁴ where R¹⁴ is hydrogen or, together with R³, forms a 3- or 4-membered alkylene or alkenylene chain in which, in each case, one methylene group is replaced by oxygen;

25

R³ is halogen, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy, C₁-C₄-alkylthio or R³ is linked to R¹⁴ as indicated above to form a 5- or 6-membered ring;

30

R⁴ is C₁-C₁₀-alkyl which can carry from one to five halogen atoms and/or one of the following radicals: C₁-C₄-alkoxy, C₁-C₄-alkylthio, cyano, C₁-C₈-alkylcarbonyl, C₁-C₈-alkoxy-carbonyl, phenyl, phenoxy or phenylcarbonyl, where the phenyl radicals in turn can carry from one to five halogen atoms and/or from one to three of the following radicals: C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy and/or C₁-C₄-alkylthio;

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C₁-C₁₀-alkyl which can carry from one to five halogen atoms and carries one of the following radicals: a five-membered heteroaromatic ring which contains from one to three nitrogen atoms and/or one sulfur or oxygen atom and which can carry from one to four halogen atoms and/or one or two of the following radicals: C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy, C₁-C₄-alkylthio and/or phenyl;

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- C₃-C₁₂-cycloalkyl or C₃-C₁₂-cycloalkenyl, each of which can contain one oxygen or sulfur atom and can carry from one to five halogen atoms and/or one of the following radicals: C₁-C₄-alkyl, C₁-C₄-alkoxy, C₁-C₄-alkylthio, cyano, C₁-C₈-alkyl-carbonyl, C₁-C₈-alkoxycarbonyl, phenyl, phenoxy or phenyl-carbonyl, where the phenyl radicals in turn can carry from one to five halogen atoms and/or from one to three of the following radicals: C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy and/or C₁-C₄-alkylthio;
- C₃-C₆-alkenyl or C₃-C₆-alkynyl, each of which can carry from one to five halogen atoms and/or one of the following radicals: C₁-C₄-alkyl, C₁-C₄-alkoxy, C₁-C₄-alkylthio, cyano, C₁-C₈-alkylcarbonyl, C₁-C₈-alkoxycarbonyl, phenyl, phenoxy or phenylcarbonyl, where the phenyl radicals in turn can carry from one to five halogen atoms and/or from one to three of the following radicals: C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy and/or C₁-C₄-alkylthio;
- a five- or six-membered heteroaromatic ring which contains from one to three nitrogen atoms and/or one sulfur or oxygen atom and which can carry from one to four halogen atoms and/or one or two of the following radicals: C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy, C₁-C₄-alkylthio, phenyl, phenoxy or phenylcarbonyl, where the phenyl radicals in turn can carry from one to five halogen atoms and/or from one to three of the following radicals: C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy and/or C₁-C₄-alkylthio;
- phenyl or naphthyl, each of which can be substituted by one or more of the following radicals: halogen, nitro, cyano, hydroxyl, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy, phenoxy, C₁-C₄-alkylthio, amino, C₁-C₄-alkylamino or C₁-C₄-dialkylamino;
- R⁴ and R⁵ form, together with the adjacent carbon atom, a 3- to 8-membered ring which can contain one oxygen or sulfur atom and can carry from one to three of the following radicals: C₁-C₄-alkyl, halogen, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy and/or C₁-C₄-alkylthio [sic];
- R⁵ is hydrogen, C₁-C₄-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkynyl, C₃-C₈-cycloalkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxyalkyl, C₁-C₄-alkylthioalkyl, phenyl or R⁵ is linked to R⁴ as indicated above to form a 3- to 8-membered ring;

R⁶ is C₁-C₈-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkynyl or C₃-C₈-cyclo-
alkyl, it being possible for each of these radicals to be
substituted one or more times by: halogen, nitro, cyano,
C₁-C₄-alkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkynyloxy, C₁-C₄-alkyl-
thio, C₁-C₄-haloalkoxy, C₁-C₄-alkylcarbonyl, C₁-C₄-alkoxy-
carbonyl, C₁-C₄-alkylamino, di-C₁-C₄-alkylamino, phenyl,
phenoxy or phenyl which is substituted one or more times, eg.
from one to three times, by halogen, nitro, cyano,
C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy or
C₁-C₄-alkylthio;

phenyl or naphthyl, each of which can be substituted by one
or more of the following radicals: halogen, nitro, cyano,
hydroxyl, amino, C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy,
C₁-C₄-haloalkoxy, phenoxy, C₁-C₄-alkylthio, C₁-C₄-alkylamino or
C₁-C₄-dialkylamino;

a five- or six-membered heteroaromatic ring which contains
from one to three nitrogen atoms and/or one sulfur or oxygen
atom and which can carry from one to four halogen atoms and/
or one or two of the following radicals: C₁-C₄-alkyl,
C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy, C₁-C₄-alkyl-
thio, phenyl, phenoxy or phenylcarbonyl, where the phenyl
radicals in turn can carry from one to five halogen atoms
and/or from one to three of the following radicals:
C₁-C₄-alkyl, C₁-C₄-haloalkyl, C₁-C₄-alkoxy, C₁-C₄-haloalkoxy
and/or C₁-C₄-alkylthio;

Y is sulfur or oxygen or a single bond;

Z is sulfur or oxygen;

for the production of drugs.